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From: STIC-EIC1600/2900@uspto.gov
Sent: Thursday, May 26, 2011 10:44 AM
To: Ricci, Craig D.
Cc: STIC-EIC1600/2900
Subject: Confirmation Receipt: 1600 Search Request - 10/598,281

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Requester ----------

Name: RICCI, CRAIG D
Organization: TC 1600
Art Unit: 1628
Employee Number:
Office Location: REM-4A05
Phone Number: (571)270-5864
Email: craig.ricci@uspto.gov

Request Detail ----------

Attachment: No

Case/Application number: 10/598,281 PALM
Priority App. Filing Date:
Format for Search Results: SCORE & EMAIL

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:
Please search the compound of claim 17 (Examples 1-20 in the Specification) in the claimset filed 9/28/2007. Thank you.

Request Date: Thursday, May 26, 2011 10:44 AM

5/26/2011

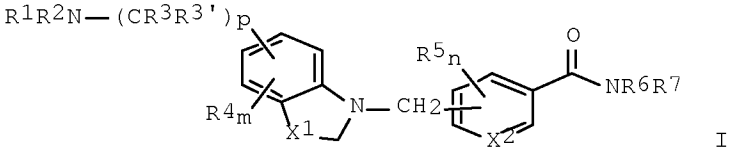
INVENTOR SEARCH

=> d ibib abs hitstr l6 1-3

L6 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1042216 HCAPLUS Full-text
DOCUMENT NUMBER: 143:347050
TITLE: Preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivatives as opioid receptor antagonists for the treatment of obesity
INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005090303	A1	20050929	WO 2005-US7702		20050309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2558030	A1	20050929	CA 2005-2558030		20050309
EP 1751103	A1	20070214	EP 2005-725070		20050309
EP 1751103	B1	20090114			
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2007529523	T	20071025	JP 2007-503959		20050309
AT 420858	T	20090115	AT 2005-725070		20050309
ES 2318472	T3	20090501	ES 2005-725070		20050309
US 20070155793	A1	20070705	US 2006-598281		20060823
PRIORITY APPLN. INFO.:			US 2004-553176P	P	20040315
			WO 2005-US7702	W	20050309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050
GI



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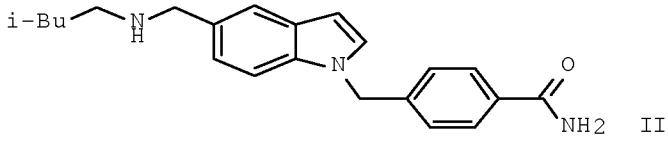


II

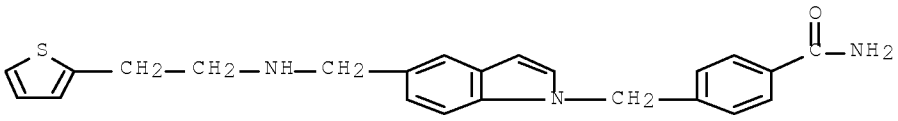
AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, γ- and δ-opioid receptor in SPA-based GTPγS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 HCAPLUS

CN Benzamide, 4-[[5-[[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



IT 865542-80-9P 865542-82-1P 865542-84-3P
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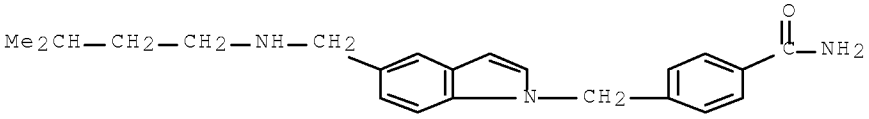
865542-91-2P 865542-92-3P 865542-93-4P
865542-94-5P 865542-95-6P 865542-96-7P
865542-97-8P 865542-98-9P 865542-99-0P
865543-00-6P 865543-03-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-80-9 HCAPLUS

CN Benzamide, 4-[[5-[[(3-methylbutyl)amino]methyl]-1H-indol-1-yl]methyl]-

(CA INDEX NAME)



RN 865542-82-1 HCAPLUS
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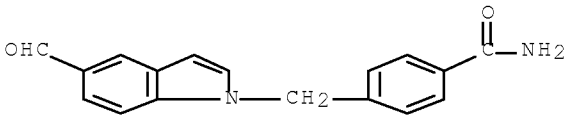

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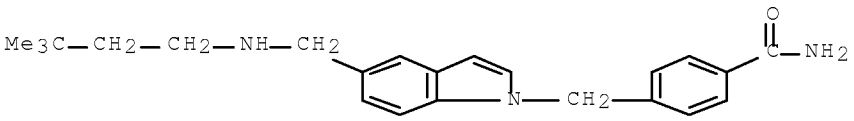
(CA INDEX NAME)



RN 865542-85-4 HCAPLUS
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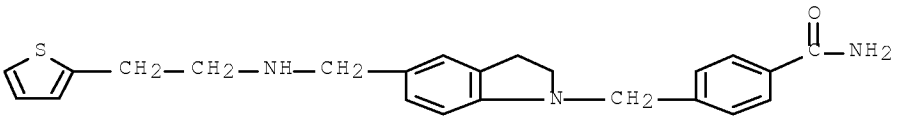

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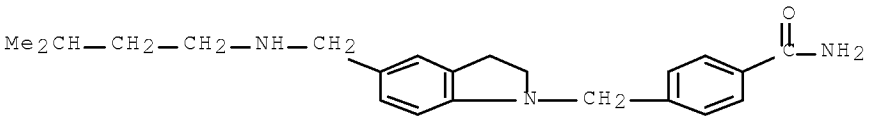

RN 865542-87-6 HCAPLUS

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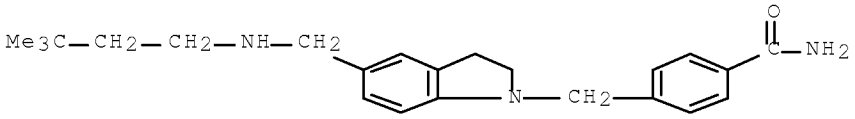

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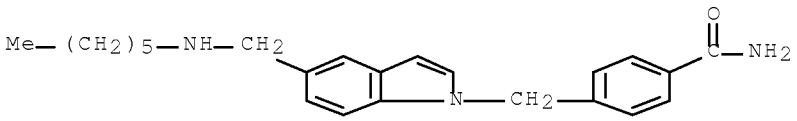

RN 865542-89-8 HCAPLUS
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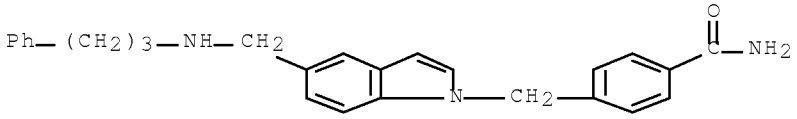

RN 865542-90-1 HCAPLUS
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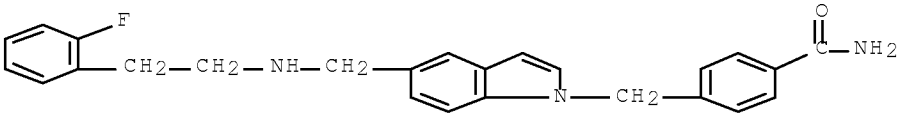

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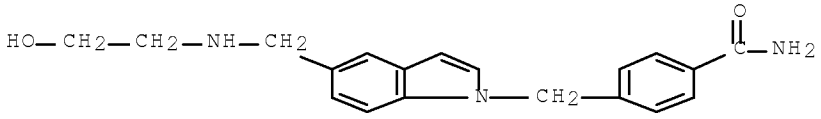

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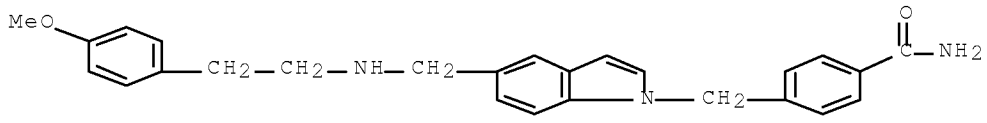

RN 865542-93-4 HCAPLUS
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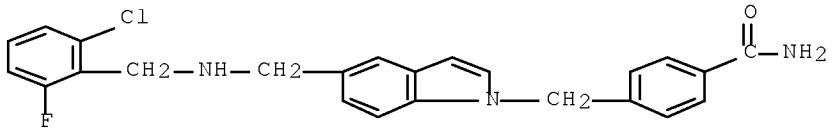
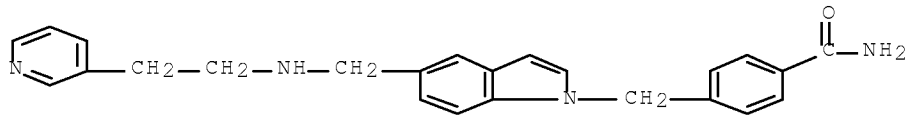


RN 865542-94-5 HCAPLUS
CN Benzamide, 4-[[5-[[[2-(3-pyridinyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-95-6 HCAPLUS
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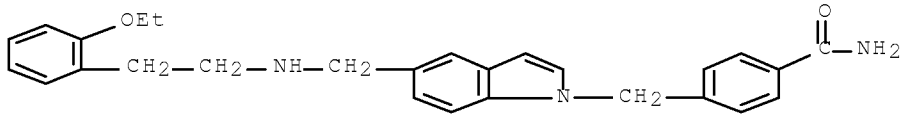

RN 865542-96-7 HCAPLUS
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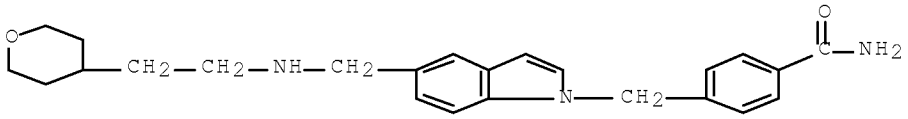

RN 865542-97-8 HCAPLUS
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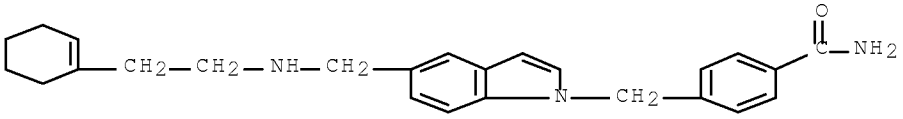

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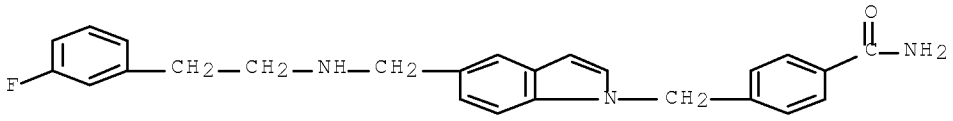
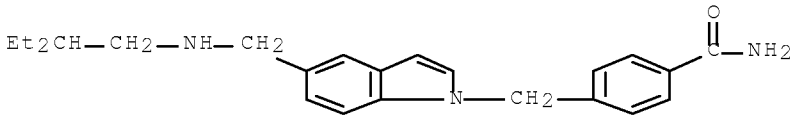
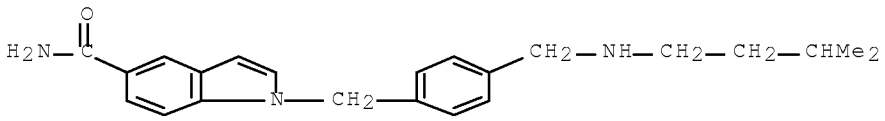


RN 865542-99-0 HCAPLUS
CN Benzamide, 4-[[5-[[(2-ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865543-00-6 HCAPLUS
CN 1H-Indole-5-carboxamide, 1-[[4-[[(3-methylbutyl)amino]methyl]phenyl]methyl]- (CA INDEX NAME)



RN 865543-03-9 HCAPLUS
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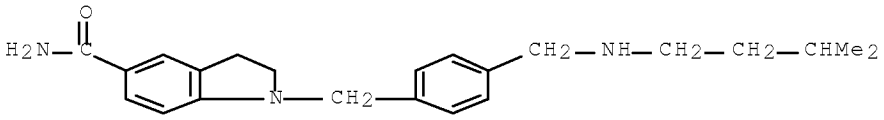
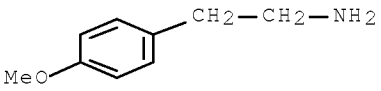
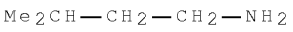


IT 55-81-2 107-85-7, Isoamylamine 111-26-2, 1-Hexanamine 404-70-6 617-79-8 1196-69-6, 5-Formylindole 2038-57-5, Benzenepropanamine 3399-73-3, 1-Cyclohexene-1-ethanamine 15205-15-9 15673-00-4 15861-24-2, 1H-Indole-5-carbonitrile 17201-43-3, 4-Bromomethylbenzonitrile 20173-24-4, 3-Pyridineethanamine 30433-91-1, 2-Thiopheneethanamine 39590-27-7 51359-78-5 52721-69-4 65412-03-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

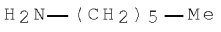
RN 55-81-2 HCAPLUS
CN Benzeneethanamine, 4-methoxy- (CA INDEX NAME)



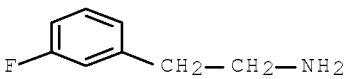
RN 107-85-7 HCAPLUS
CN 1-Butanamine, 3-methyl- (CA INDEX NAME)



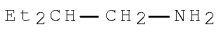
RN 111-26-2 HCAPLUS
CN 1-Hexanamine (CA INDEX NAME)



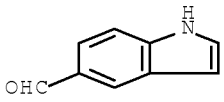
RN 404-70-6 HCAPLUS
CN Benzeneethanamine, 3-fluoro- (CA INDEX NAME)



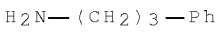
RN 617-79-8 HCAPLUS
CN 1-Butanamine, 2-ethyl- (CA INDEX NAME)



RN 1196-69-6 HCAPLUS
CN 1H-Indole-5-carboxaldehyde (CA INDEX NAME)



RN 2038-57-5 HCAPLUS
CN Benzenepropanamine (CA INDEX NAME)



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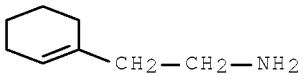

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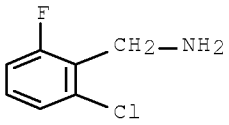

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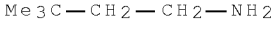
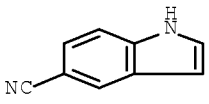
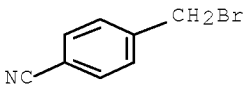


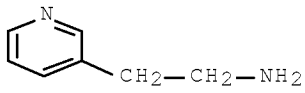
RN 15861-24-2 HCAPLUS
CN 1H-Indole-5-carbonitrile (CA INDEX NAME)



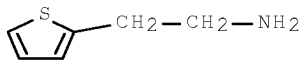
RN 17201-43-3 HCAPLUS
CN Benzonitrile, 4-(bromomethyl)- (CA INDEX NAME)



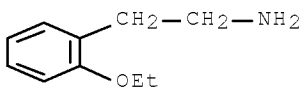
RN 20173-24-4 HCAPLUS
CN 3-Pyridineethanamine (CA INDEX NAME)



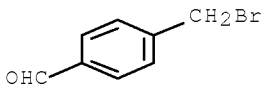
RN 30433-91-1 HCAPLUS
CN 2-Thiopheneethanamine (CA INDEX NAME)



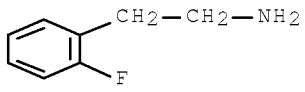
RN 39590-27-7 HCAPLUS
CN Benzeneethanamine, 2-ethoxy- (CA INDEX NAME)



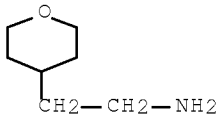
RN 51359-78-5 HCAPLUS
CN Benzaldehyde, 4-(bromomethyl)- (CA INDEX NAME)



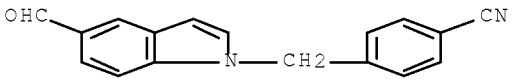
RN 52721-69-4 HCAPLUS
CN Benzeneethanamine, 2-fluoro- (CA INDEX NAME)



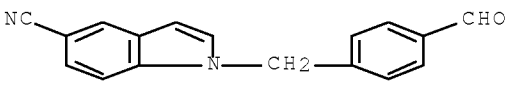
RN 65412-03-5 HCAPLUS
CN 2H-Pyran-4-ethanamine, tetrahydro- (CA INDEX NAME)



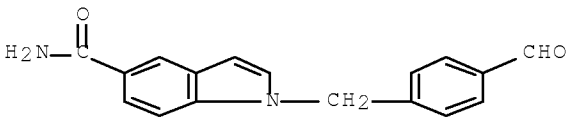
IT 865542-81-0P 865543-01-7P 865543-02-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)
RN 865542-81-0 HCAPLUS
CN Benzonitrile, 4-[(5-formyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 865543-01-7 HCAPLUS
CN 1H-Indole-5-carbonitrile, 1-[(4-formylphenyl)methyl]- (CA INDEX NAME)



RN 865543-02-8 HCAPLUS
CN 1H-Indole-5-carboxamide, 1-[(4-formylphenyl)methyl]- (CA INDEX NAME)



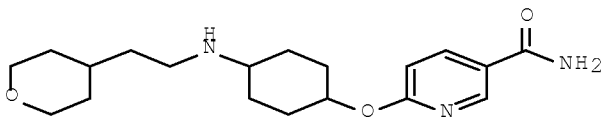
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:588876 HCAPLUS Full-text
DOCUMENT NUMBER: 143:115448
TITLE: Nicotinamide derivatives preparation as opioid receptor antagonists
INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061442	A1	20050707	WO 2004-US38227	20041206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004303790	A1	20050707	AU 2004-303790	20041206
CA 2549009	A1	20050707	CA 2004-2549009	20041206
EP 1697307	A1	20060906	EP 2004-811079	20041206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1890208	A	20070103	CN 2004-80036471	20041206
JP 2007516256	T	20070621	JP 2006-543831	20041206
BR 2004017156	A	20070306	BR 2004-17156	20041216
US 20070010558	A1	20070111	US 2006-581164	20060531
US 7196100	B2	20070327		
MX 2006006614	A	20060731	MX 2006-6614	20060609
IN 2006DN03866	A	20070713	IN 2006-DN3866	20060705

PRIORITY APPLN. INFO.: US 2003-529061P P 20031212
WO 2004-US38227 W 20041206
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:115448; MARPAT 143:115448
GI



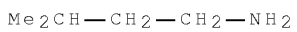
I

AB Nicotinamide derivs. were prepd.for use in the treatment, prevention or amelioration of obesity and related diseases. E.g., I was prepared starting from 3,3-dimethyl-1,5-dioxaspiro[5.5]undecan-9-one through a number of

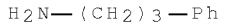
reaction sequences. I and a number of other derivs. were tested with the GTP-γ-S binding assay and ex vivo receptor binding.

IT 107-85-7 2038-57-5, 3-Phenylpropylamine
30433-91-1, 2-Thiopheneethanamine 65412-03-5,
2-(Tetrahydro-4-pyranyl)ethylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(nicotinamide derivs. preparation as opioid receptor antagonists)

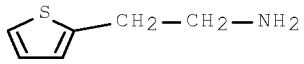
RN 107-85-7 HCAPLUS
CN 1-Butanamine, 3-methyl- (CA INDEX NAME)



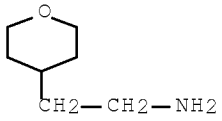
RN 2038-57-5 HCAPLUS
CN Benzenepropanamine (CA INDEX NAME)



RN 30433-91-1 HCAPLUS
CN 2-Thiopheneethanamine (CA INDEX NAME)



RN 65412-03-5 HCAPLUS
CN 2H-Pyran-4-ethanamine, tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:780689 HCAPLUS Full-text
DOCUMENT NUMBER: 141:295846
TITLE: Preparation of substituted thiophene-based opioid receptor antagonists
INVENTOR(S): Blanco-Pillado, María Jesus; Benesh, Dana Rae; Mitch, Charles Howard; Tackeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080996	A1	20040923	WO 2004-US3368	20040301
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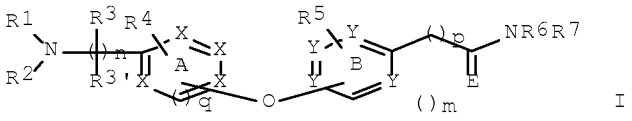
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220113	A1	20040923	AU 2004-220113	20040301
CA 2513791	A1	20040923	CA 2004-2513791	20040301
EP 1606275	A1	20051221	EP 2004-716082	20040301
EP 1606275	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008108	A	20060301	BR 2004-8108	20040301
CN 1753884	A	20060329	CN 2004-80005029	20040301
JP 2006519855	T	20060831	JP 2006-508678	20040301
AT 406360	T	20080915	AT 2004-716082	20040301
ES 2312972	T3	20090301	ES 2004-716082	20040301
US 20060166987	A1	20060727	US 2005-544286	20050802
US 7396943	B2	20080708		
IN 2005KN01606	A	20061208	IN 2005-KN1606	20050811

PRIORITY APPLN. INFO.: US 2003-453243P P 20030307
WO 2004-US3368 W 20040301
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:295846
GI



I

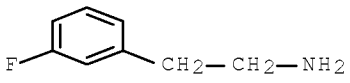
AB Title compds. I [K = O, one of X or Y = S, O and the others are selected from C, CH or N with provisions; q, m = 0-1; n = 0-3; p = 0-2; E = O, NH; R1-2 = H, alk(en/yn)yl, Ph, etc.; R3-3' = H, alk(en/yn)yl, etc.; R4-5 (taken 0-3 times) = H, alk(en/yn)yl, alkoxy, halo, etc.; R6-7 = H, alk(en/yn)yl, OH, etc.] are prepared For instance, 4-[(5-(((phenethyl)amino)methyl)thiophene-2-yl)oxy]benzamide (II) is prepared in several steps from 4-((5-formylthiophene-2-yl)oxy)benzonitrile (preparation given). II has Kb = 0.6 nM for the μ-opioid receptor, 4.6 nM for the κ-opioid receptor and 3.3 nM for the δ-opioid receptor. I are useful for the treatment of, e.g., diabetes, hyperlipidemia, etc.

IT 107-85-7, 3-Methylbutylamine 404-70-6,

2-(3-Fluorophenyl)ethylamine 15673-00-4, 3,3-Dimethylbutylamine 30433-91-1, 2-(Thiophen-2-yl)ethylamine 65412-03-5, 2-(Tetrahydropyran-4-yl)ethylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted thiophene-based opioid receptor antagonists)

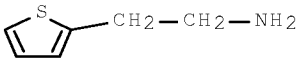
RN 107-85-7 HCAPLUS
CN 1-Butanamine, 3-methyl- (CA INDEX NAME)

RN 404-70-6 HCAPLUS
CN Benzeneethanamine, 3-fluoro- (CA INDEX NAME)

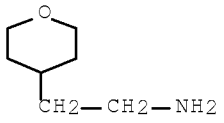


RN 15673-00-4 HCAPLUS
CN 1-Butanamine, 3,3-dimethyl- (CA INDEX NAME)

RN 30433-91-1 HCAPLUS
CN 2-Thiopheneethanamine (CA INDEX NAME)



RN 65412-03-5 HCAPLUS
CN 2H-Pyran-4-ethanamine, tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RESULTS FROM SEARCHES IN REGISTRY, CAPLUS, AND REAXYSFILE

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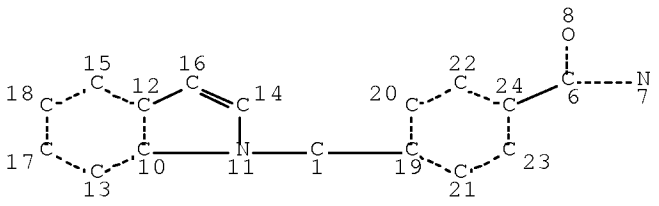
L7 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L9 362 SEA FILE=REGISTRY SSS FUL L7
L10 55 SEA FILE=HCAPLUS ABB=ON L9
L11 2 SEA FILE=HCAPLUS ABB=ON L10 AND ?OBES?
L13 19 SEA FILE=REGISTRY ABB=ON (865542-80-9 OR 865542-83-2 OR 865542-84-3 OR 865542-85-4 OR 865542-86-5 OR 865542-87-6 OR 865542-88-7 OR 865542-89-8 OR 865542-90-1 OR 865542-91-2 OR 865542-92-3 OR 865542-93-4 OR 865542-94-5 OR 865542-95-6 OR 865542-96-7 OR 865542-97-8 OR 865542-98-9 OR 865542-99-0 OR 865543-03-9)/RN
L14 1 SEA FILE=REGISTRY ABB=ON 865543-00-6/RN
L15 20 SEA FILE=REGISTRY ABB=ON L13 OR L14
L16 1 SEA FILE=HCAPLUS ABB=ON L15
L17 2 SEA FILE=HCAPLUS ABB=ON L11 OR L16

=> d ibib abs hitstr l17 1-2

L17 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1042216 HCAPLUS Full-text
DOCUMENT NUMBER: 143:347050
TITLE: Preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivatives as opioid receptor antagonists for the treatment of obesity
INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

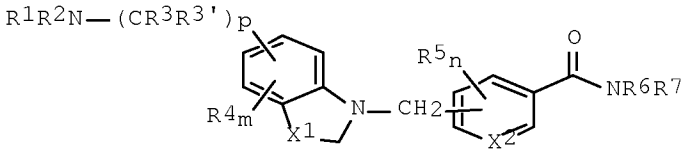
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005090303	A1	20050929	WO 2005-US7702	20050309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2558030	A1	20050929	CA 2005-2558030	20050309
EP 1751103	A1	20070214	EP 2005-725070	20050309
EP 1751103	B1	20090114		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007529523	T	20071025	JP 2007-503959	20050309
AT 420858	T	20090115	AT 2005-725070	20050309
ES 2318472	T3	20090501	ES 2005-725070	20050309
US 20070155793	A1	20070705	US 2006-598281	20060823
PRIORITY APPLN. INFO.:			US 2004-553176P P	20040315
			WO 2005-US7702 W	20050309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



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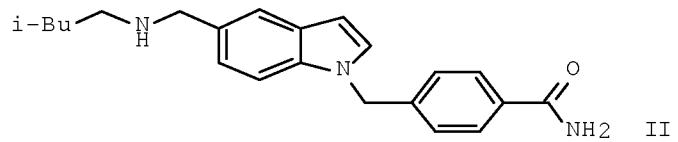


II

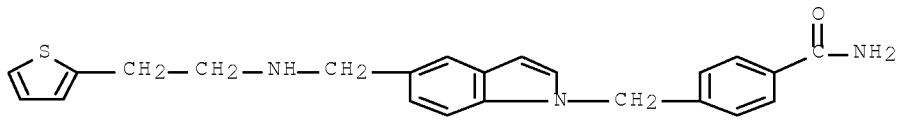
AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, γ- and δ-opioid receptor in SPA-based GTPγS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

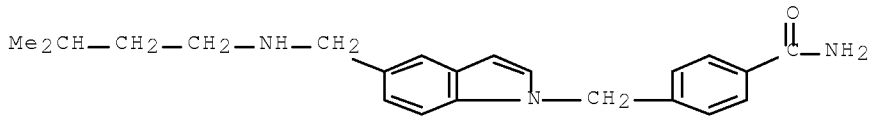
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 HCAPLUS
CN Benzamide, 4-[[5-[[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)

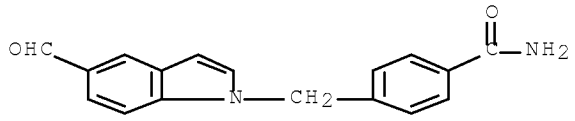


IT 865542-80-9P 865542-82-1P 865542-84-3P
865542-85-4P 865542-86-5P 865542-87-6P
865542-88-7P 865542-89-8P 865542-90-1P
865542-91-2P 865542-92-3P 865542-93-4P
865542-94-5P 865542-95-6P 865542-96-7P
865542-97-8P 865542-98-9P 865542-99-0P
865543-00-6P 865543-03-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-80-9 HCAPLUS
CN Benzamide, 4-[[5-[[(3-methylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-82-1 HCAPLUS
CN Benzamide, 4-[(5-formyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 865542-84-3 HCAPLUS
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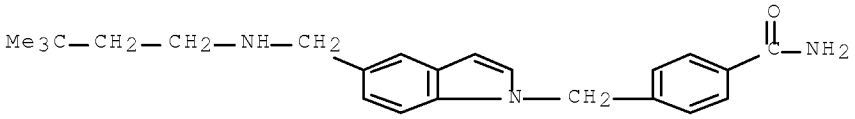

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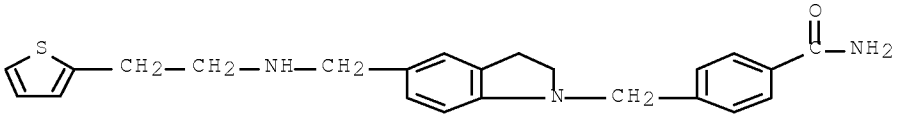

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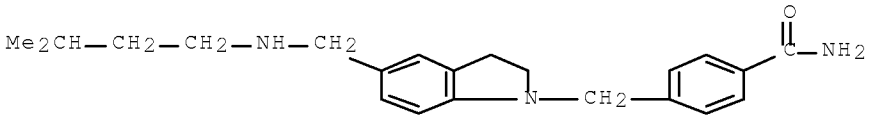

RN 865542-87-6 HCAPLUS
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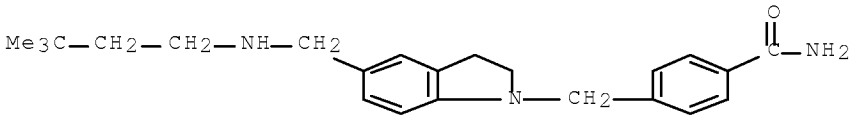

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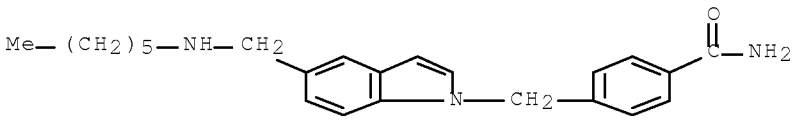

RN 865542-89-8 HCAPLUS
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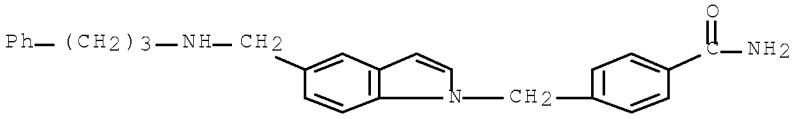

RN 865542-90-1 HCAPLUS
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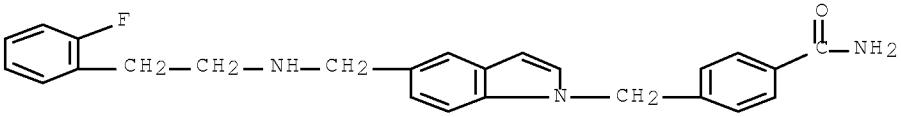

RN 865542-91-2 HCAPLUS
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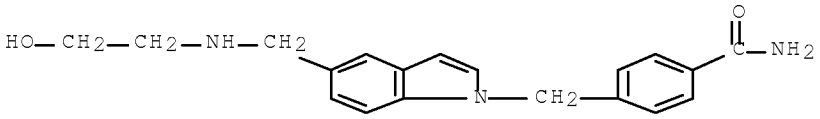

RN 865542-92-3 HCAPLUS
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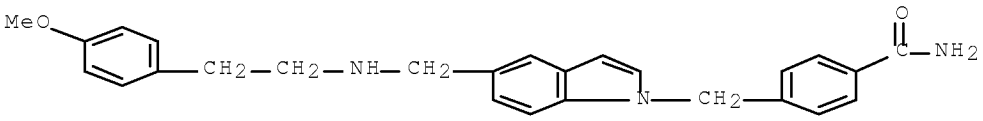

RN 865542-93-4 HCAPLUS
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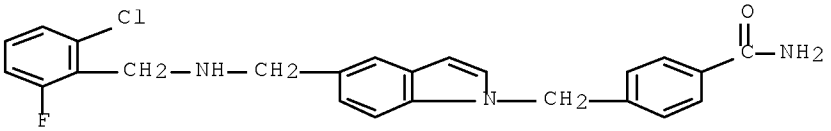

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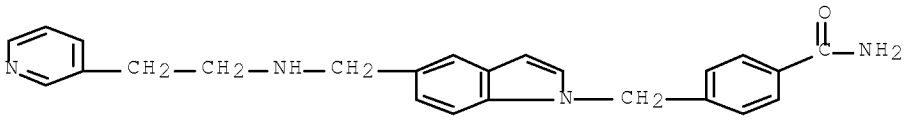
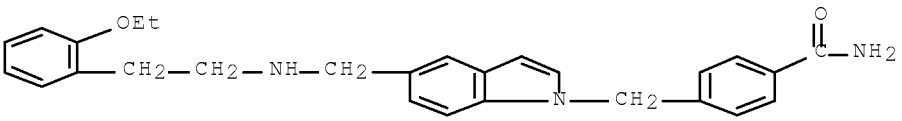
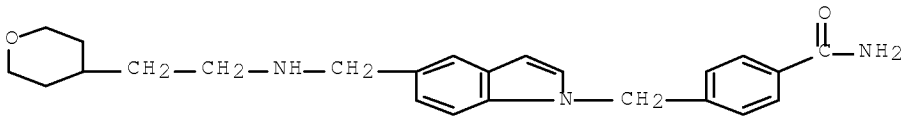


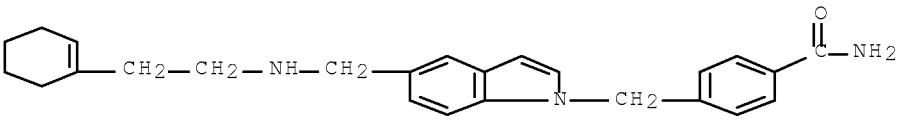
RN 865542-95-6 HCAPLUS
CN Benzamide, 4-[[5-[[[2-(2-ethoxyphenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



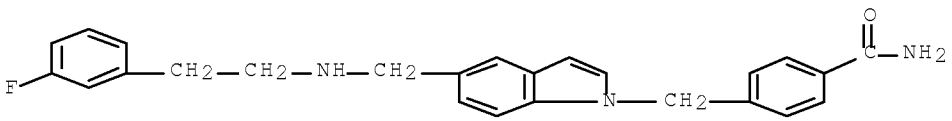
RN 865542-96-7 HCAPLUS
CN Benzamide, 4-[[5-[[[2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



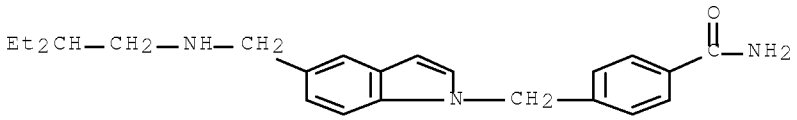
RN 865542-97-8 HCAPLUS
CN Benzamide, 4-[[5-[[[2-(1-cyclohexen-1-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-98-9 HCAPLUS
CN Benzamide, 4-[[5-[[[2-(3-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-99-0 HCAPLUS
CN Benzamide, 4-[[5-[[(2-ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865543-00-6 HCAPLUS
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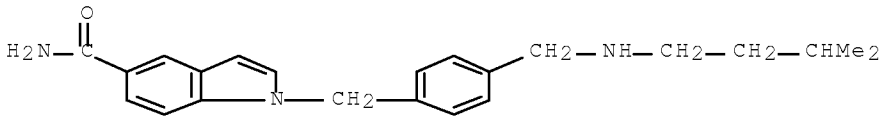

RN 865543-03-9 HCAPLUS
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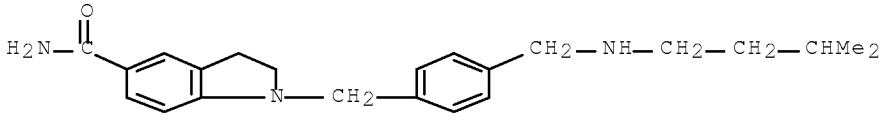


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:606440 HCAPLUS Full-text
DOCUMENT NUMBER: 141:157124
TITLE: Preparation of novel indole derivatives as cytoplasmic fatty acid binding protein FABP-4 inhibitors
INVENTOR(S): Barf, Tjeerd; Hammer, Kristin; Luthman, Marguerite; Lehmann, Fredrik; Ringom, Rune
PATENT ASSIGNEE(S): Biovitrum Ab, Swed.
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

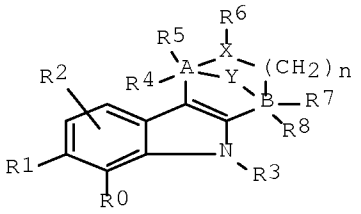
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063156	A1	20040729	WO 2004-SE5	20040108

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI

PRIORITY APPLN. INFO.: SE 2003-14 A 20030108
US 2003-462476P P 20030411

OTHER SOURCE(S): MARPAT 141:157124

GI



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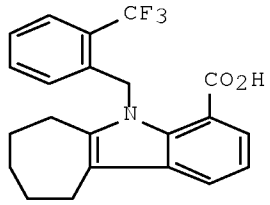


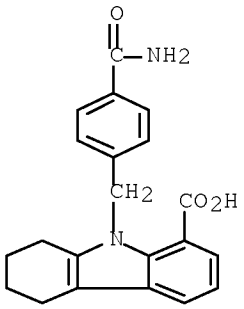
II

AB The present invention relates to novel compds. (I) [wherein one of R0 and R1 is CO2H, CO2Me, CH2OH, CONHOH, NHSO2-C1-6-alkyl, or -NHSO2Ar (wherein Ar = Ph, naphthyl, pyrrole, imidazole, thiophene, furan, thiazole, isothiazole, thiadiazole, oxazole, isoxazole, oxadiazole, pyridine, pyrazine, pyrimidine, etc.), and the other of R0 is H or Me; R2 = H; R3 = H, CO-C1-6-alkyl, SO2-C1-6 alkyl, CH(R11)(CH2)mZ (wherein R11 = H, C1-6 alkyl; m = 1-4; Z = H, cyano, CO2H, COCl, or (un)substituted CONH2); R3 = Q (wherein Ar is as defined above); R9, R10 = H, m3, OMe, F, Br, Cl, CF3, CO2H, NO2, NH2, NHCO-C1-6 alkyl, CN, CONH2, OH, SMe, SO2Me, SO2CF3, OCF3, SCF3, OPh; n = 0-2; R4, R5 = H or absent, or R4 and R5 taken together = :NOH,:O-CH2-Ph; R6 = H, Me, COMe, absent; A, B = a carbon atom not substituted by oxo, CH, Ph group; X = CH, N or absent; Y = CH2 or absent; R7, R8 = H, COCF3, SO2-C1-6 alkyl, absent] or pharmaceutically acceptable salts, solvates, hydrates, geometrical isomers, tautomers, optical isomers, N-oxides and prodrug forms thereof and also to pharmaceutical compns. comprising the compds., as well as to the use of the compds. in medicine and for the preparation of a medicament, which acts on the fatty acid binding protein FABP-4. These compds. are useful for the prophylaxis or treatment of disorders acting on the fatty acid binding protein FABP-4 which are are selected from type 2 diabetes, hyperglycemia, hyperlipidemia, hyperinsulinemia, obesity, atherosclerosis, other chronic antiinflammatory and autoimmune/inflammatory diseases, and chronic heart disease. Thus, powdered KOH (0.50 g, 8.91 mmol) was added to a solution of 5,6,7,8,9,10-hexahydrocyclohepta[b]indole-4-carboxylic acid Me ester in DMSO (5 mL), stirred for 5 min, treated with 2-trifluoromethylbenzyl bromide (844 mg, 3.35 mmol), stirred for 10 min before quenching with saturate NH4Cl, and extracted with Et2O to give, after purification by flash chromatog., 224 mg (58%) 5-[2-(trifluoromethyl)benzyl]-5,6,7,8,9,10-hexahydrocyclohepta[b]indole-4- carboxylic acid (II). II inhibited the binding of a [3H]-labeled ligand to human FABP-4(His)8 with Ki of 49 nM.

IT 729613-82-5P, 9-[4-(Aminocarbonyl)benzyl]-2,3,4,9-tetrahydro-1H-carbazole-8-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel indole derivs. as cytoplasmic fatty acid binding protein FABP-4 inhibitors for prophylaxis or treatment of disorders acting on FABP-4)

RN 729613-82-5 HCAPLUS

CN 1H-Carbazole-8-carboxylic acid, 9-[[4-(aminocarbonyl)phenyl]methyl]-2,3,4,9-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	9	THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SEARCH HISTORY

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     (FILE 'HOME' ENTERED AT 15:23:38 ON 01 JUN 2011)

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                E BLANCO PILLADO MARIA JESUS/AU
L2            7 SEA ABB=ON  "BLANCO PILLADO MARIA JESUS"/AU
L3            6 SEA ABB=ON  L1 AND L2
L4            3 SEA ABB=ON  L3 AND OBES?
                SELECT RN L4 1

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                OR 865542-80-9/BI OR 865542-81-0/BI OR 865542-82-1/BI OR
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     FILE 'REGISTRY' ENTERED AT 15:43:56 ON 01 JUN 2011
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L8           18 SEA SSS SAM L7
L9          362 SEA SSS FUL L7

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L11           2 SEA ABB=ON  L10 AND ?OBES?

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                865542-85-4 OR 865542-86-5 OR 865542-87-6 OR 865542-88-7 OR
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                865542-97-8 OR 865542-98-9 OR 865542-99-0 OR 865543-03-9)/RN
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L15          20 SEA ABB=ON  L13 OR L14

     FILE 'HCAPLUS' ENTERED AT 15:47:56 ON 01 JUN 2011
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L17           2 SEA ABB=ON  L11 OR L16
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L19             0 SEA ABB=ON  L10 AND ?OBES?
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               SAV L7 RIC281L7/L


     FILE HOME

     FILE HCAPLUS

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     FILE COVERS 1907 - 1 Jun 2011  VOL 154 ISS 23
     FILE LAST UPDATED: 30 May 2011  (20110530/ED)
     REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Apr 2011
     USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Apr 2011

     HCAplus now includes complete International Patent Classification (IPC)
     reclassification data for the fourth quarter of 2010.

     CAS Information Use Policies apply and are available at:

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     This file contains CAS Registry Numbers for easy and accurate
     substance identification.

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   31 MAY 2011  HIGHEST RN 1303643-78-8
     DICTIONARY FILE UPDATES:  31 MAY 2011  HIGHEST RN 1303643-78-8

     CAS Information Use Policies apply and are available at:

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     TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

       Please note that search-term pricing does apply when
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     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:
```

http://www.cas.org/support/stngen/stndoc/properties.html

FILE REAXYSFILE
FILE LAST UPDATED ON September 30, 2010
FILE COVERS 1771 TO 2009.

FILE CONTAINS 10,864,115 SUBSTANCES

>>> Patents are covered until 1980.
Currently, the content of Patent Chemistry Database is not
included. <<<

>>> For details on preparations and reactions searching
see HELP PRE and HELP RXS <<<

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